Structure Search

=> FILE CAPLUS

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=> D QUE L13 L2 STR

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26

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STEREO ATTRIBUTES: NONE
              45 SEA:FILE=REGISTRY FAM FUL L2
 L4
              62 SEA FILE=CAPLUS ABB=ON PLU=ON L4(L)PREP+NT/RL
 L7
              52 SEA FILE=CAPLUS ABB=ON PLU=ON L7 AND PATENT/DT
 L8
              44 SEA FILE=CAPLUS ABB=ON PLU=ON L8 AND (PRY>=2001 OR PY>=2001
 L9
                 OR AY > = 2001)
              10 SEA FILE=CAPLUS ABB=ON PLU=ON L7 NOT L8
L11
 L12
              4 SEA FILE=CAPLUS ABB=ON PLU=ON L11 AND PY>=2001
 L13
              48 SEA FILE=CAPLUS ABB=ON PLU=ON L9 OR L12
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=> D IBIB ED ABS 1-48

L13 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN CAPLUS Full-text ACCESSION NUMBER: 2006:1339720

DOCUMENT NUMBER:

146:82189

TITLE:

Preparation of L-threonine derivatives with high

therapeutic index

INVENTOR(S):

Chandran, V. Ravi

PATENT ASSIGNEE(S):

USA

3

SOURCE:

U.S. Pat. Appl. Publ., 60pp., Cont.-in-part of U.S.

Ser. No. 343,557.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.						DATE				_		NO.		D	ATE	
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US	2006	2872	44		A1		2006	1221	1	US 2	006-	4420	27		2	0060!	526 <
WO	2005	0465	75		A2		2005	0526	1	WO 2	004-1	US24	901		2	040	729 <
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	TJ, TM, TN				TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
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		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
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US	US 2006241017				A1	•	2006	1026	ļ	US 2	006-	3435	57		2	0060	130 <
PRIORIT	RIORITY APPLN. INFO.:								1	US 2	003-4	4913	31P		P 20	0030	729 <
									Ţ	WO 2	004-1	US24	901		A2 20	040	729 <
									1	US 2	006-3	3435	57		A2 2	0060	130 <

ED Entered STN: 22 Dec 2006

The invention is directed to novel therapeutic compds. comprised of an L-AB threonine bonded to a medicament or drug having a hydroxy, amino, carboxy or acylating function. These high-therapeutic index derivs. have the same utility as the drug from which they are made and they have enhanced pharmacol. and pharmaceutical properties, with the addnl. advantage of separating various enantiomeric and diastereomeric drugs into their individual isomers. examples describe the synthesis and activities of L-threonine derivs. of (\pm) and (+) - (S) - ibuprofen, (\pm) - and (+) - (S) -ketoprofen, (-) - (S) -ketorolac, aspirin, and fenofibric acid. The synthesis and activity of several L-serine and L-hydroxyproline analogs were also described. Thus, the hydrochloride of (+)-(S)-ibuprofen ester of L-threonine was prepared, and its free base

examined for analgesic, gastric mucosal irritation, toxicity, and pharmacokinetic properties.

L13 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:1339495 CAPLUS Full-text DOCUMENT NUMBER: 146:68762 Crystalline anhydrous cefdinir and crystalline TITLE: cefdinir hydrates Law, Devalina; Henry, Rodger F.; Lou, Xiaochun INVENTOR(S): PATENT ASSIGNEE(S): USA U.S. Pat. Appl. Publ., 29pp., Cont.-in-part of U.S. SOURCE: Ser. No. 72,568. CODEN: USXXCO DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE _ _ _ _ US 2006287289 **A1** 20061221 US 2005-177640 20050708 <--A1 20050922 US 2005209211 US 2005-72568 20050303 <--CA 2558629 A1 20050929 CA 2005-2558629 20050307 <--EP 1745053 20070124 EP 2005-724824 **A1** 20050307 <--R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR US 2006211676 **A1** 20060921 US 2005-221427 A1 WO 2006-US26536 WO 2007008672 20070118 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,

20050908 <--20060710 <--MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.:

US 2004-553643P 20040316 <--A2 20050303 <--US 2005-72568 20050307 <--WO 2005-US7359 W US 2005-177640 A2 20050708 <--US 2005-221427 20050908 <--

22 Dec 2006 Entered STN: ED

A novel crystalline cefdinir anhydrate and novel crystalline cefdinir AB hydrates, ways to make them and use them, compns. comprising them and made with them, and methods of treatment using them are disclosed. crystalline cefdinir trihemihydrate (preparation given) was heated at 75 °C for 30 min to give crystalline cefdinir sesquihydrate.

COPYRIGHT 2007 ACS on STN L13 ANSWER 3 OF 48 CAPLUS 2006:1338411 ACCESSION NUMBER: CAPLUS Full-text

DOCUMENT NUMBER:

146:62516

TITLE:

Cefdinir process

INVENTOR(S):

Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji; Reddy, Dasari Muralidhara; Murali,

Nagabelli

PATENT ASSIGNEE(S):

Hetero Drugs Limited, India

SOURCE:

PCT Int. Appl., 10pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1 .

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20061221 WO 2005-IN199 WO 2006134607 A1 20050615 <--AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

WO 2005-IN199

20050615 <--

ED Entered STN: 22 Dec 2006

GI

This invention provides an improved process for the preparation of high ABassayed cefdinir (I). Thus, crude cefdinir is added to water at 25-30° and then 18% hydrochloric acid is slowly added to form a clear solution The solution is cooled to -5° and stirred for 5 min at -5° to +5°. Then temperature of the mass is raised to 35-38°C, stirred for 15 min at the same temperature To the reaction mass carbon is added at 35-38° and stirred for 30 min at 35-38°. Then the contents are filtered on hiflo bed and washed with water. The filtrate is then cooled to 25°, the pH is slowly adjusted to 2.6 with saturated sodium bicarbonate solution and stirred for 60 min at 25-30°. Filtered the solid, washed with water and dried at 40° under vacuum to give high assayed cefdinir.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:1173157 CAPLUS Full-text

DOCUMENT NUMBER:

145:454873

TITLE:

A novel crystalline form of cefdinir

INVENTOR(S):

Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar;

Reddy, Rapolu Raji; Reddy, Dasari Muralidhara; Murali,

Nagabelli

PATENT ASSIGNEE(S):

Hetero Drugs Limited, India

SOURCE:

PCT Int. Appl., 18pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PAT	PATENT NO.				KIN	D 1	DATE		ì	APPL	ICAT:	ION 1	. OV		D	ATE	
						-											-
WO	2006	1177	94		A1	•	2006	1109	1	WO 2	005-3	IN13!	5		20	0050	502 <
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
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		IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,
•		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,
		KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	KG,
		KZ,	MD,	RU,	TJ,	TM											

PRIORITY APPLN. INFO.:

WO 2005-IN135

20050502 <--

Entered STN: 09 Nov 2006 ED

GI

$$H_{2}N$$
 S
 OH
 CO
 H
 S
 CH_{2}
 $CO_{2}H$
 I

This invention relates to a novel crystalline form of cefdinir (I), process AB for its preparation and to a pharmaceutical compns. containing it. Thus, cefdinir is added to water at 20-25° and then hydrochloric acid (18%) is added at 20-25° to get a clear solution To the solution activated carbon is added at 20-25°, stirred for 30 min, filtered through hyflo bed and washed with Then the pH of the filtrate is adjusted to 6.5 with saturated bicarbonate solution at 5-8°, stirred for clear solution, activated carbon is added and stirred for 30 min at 5-8°. The reaction mass is filtered through hyflo bed, washed with water, 1:1 sulfuric acid is dumped to the above solution at 5-8° (pH 2.8) and then stirred for 60 min at 3-5°. The resulting solid is filtered, washed with water and dried at 40° under vacuum to give cefdinir form H.

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN 2006:1091819 CAPLUS Full-text ACCESSION NUMBER:

8

TITLE:

Synthesis of potential related compounds of Cefdinir

```
Rao, Korrapti V. V.; Dandala, Ramesh; Rani, Ananta;
AUTHOR(S):
                         Naidu, Andra
                         Chemical Research Department, APL Research Center,
CORPORATE SOURCE:
                         Hyderabad, 500 072, India
                         ARKIVOC (Gainesville, FL, United States) (2006
SOURCE:
                         ), (15), 22-27
                         CODEN: AGFUAR
                         URL: http://www.arkat-usa.org/ARKIVOC/JOURNAL CONTENT/
                         manuscripts/2006/06-2133CP%20as%20published%20mainmanu
                         script.pdf
                         Arkat USA Inc.
PUBLISHER:
                         Journal; (online computer file)
DOCUMENT TYPE:
                         English
LANGUAGE:
    Entered STN: 19 Oct 2006
ED
     The synthesis of three contaminants of Cefdinir, formed during the preparation
AB
     of Cefdinir bulk drug, is described. The products identified as (6R,7R)-7-
     [(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-8-oxo-3- vinyl-5-thia-1-
    azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid-5-oxide, (6R,7R)-7-[(Z)-2-(2-
     aminothiazol-4-yl)-2-hydroxyiminoacetamido]-8-oxo-3- vinyl-5-thia-1-
     azabicyclo[4.2.0]oct-3-ene-2-carboxylicacid, and (6R,7R)-7-[(Z)-2-(2-
     aminothiazol-4-yl)-2-hydroxyiminoacetamido]-8-oxo-3- methyl-5-thia-1-
     azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid.
                               THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L13 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
                         2006:979673 CAPLUS Full-text
DOCUMENT NUMBER:
                         145:342497
                         Crystalline anhydrous cefdinir and crystalline
TITLE:
                         cefdinir hydrates
                         Law, Devalina; Henry, Rodger F.; Lou, Xiaochun
INVENTOR(S):
PATENT ASSIGNEE(S):
                         USA
                         U.S. Pat. Appl. Publ., 29pp., Cont.-in-part of U.S.
SOURCE:
                         Ser. No. 177,640.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
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                                                                    DATE
     US 2006211676
                                20060921
                                            US 2005-221427
                                                                    20050908 <--
                          A1
                                            US 2005-72568
    US 2005209211
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                                                                    20050307 <--
     CA 2558629
                          A1
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     EP 1745053
                                20070124
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                                                                    20050708 <--
     WO 2007008672
                                            WO 2006-US26536
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             GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
             KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

US, UZ, VC, VN, ZA, ZM, ZW

SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2004-553643P

US 2005-72568

A2 20050303 <-
US 2005-177640

A2 20050708 <-
WO 2005-US7359

W 20050908 <-
US 2005-221427

A 20050908 <--

ED Entered STN: 21 Sep 2006

AB A novel crystalline cefdinir anhydrate and hydrates and ways to make them and use them are presented. Compns. comprising crystalline cefdinir anhydrate or hydrates and methods of using them in treatment of bacterial infection in a mammal, particularly in humans are also described. Thus, a crystalline cefdinir trihemihydrate (preparation given) was heated at 75° for 30 min to give crystalline cefdinir sesquihydrate.

L13 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:636734 CAPLUS Full-text

DOCUMENT NUMBER:

145:83172

TITLE:

Crystalline anhydrous cefdinir and crystalline

cefdinir hydrates

INVENTOR(S):

Law, Devalina; Henry, Rodger F.; Lou, Xiaochun

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 31 pp., Cont.-in-part of U.S.

Ser. No. 177,202.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

6

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.					D	DATE		•	APPL:	ICAT:	ION	NO.		D.	ATE	
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US	2006	1425	63		A1		2006	0629		US 2	005-2	2222	99		2	0050	908 <
US	2005	2092	11		A1		2005	0922	•	US 2	005-	7256	8		2	0050	303 <
CA	2558	629			A1		2005	0929		CA 2	005-3	2558	629		2	0050	307 <
EP	1745	053			A1		2007	0124		EP 2	005-	7248	24		2	0050	307 <
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US	2006	0253	99		A1		2006	0202		US 2	005-	1772	02		2	0050	708 <
WO	2007	0086	74		A1		2007	0118		WO 2	006-1	US26	538		2	0060	710 <
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									•	US 2	005-2	2222	99		A 2	0050	908 <
DD Date		O CODA	_	A -	- 00	~ ~											

ED Entered STN: 30 Jun 2006

GI

$$H_2N$$
 S
 OH
 H_1
 S
 CO_2H
 CH_2
 CO_2H
 I

AB A novel crystalline cefdinir anhydrate (I) and novel crystalline cefdinir hydrates, ways to make them and use them, compns. comprising them and made with them, and methods of treatment of bacterial infection using them were disclosed.

L13 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:636733 CAPLUS Full-text

DOCUMENT NUMBER:

145:90056

TITLE:

Crystalline anhydrous cefdinir and crystalline

cefdinir hydrates

INVENTOR(S):

Law, Devalina; Henry, Rodger F.; Lou, Xiaochun

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S.

Ser. No. 177,173.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 6

PA	TENT		KIN	D :	DATE		4	APPL	ICAT:	ION 1	NO.		D	ATE				
US	2006	1422	61		 A1	_	 2006	0629	•	US 2	 005-:	 2223:	13		2	0050	908	<
US	2005	2092	11	•	A1		2005	0922	•	US 2	005-	7256	8		2	0050	303	<
CA	2558	629			A 1		2005											
EP	1745	053			Al		2007	0124		EP 2	005-	7248	24		2	0050	307	<
	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	ĠR,	HU,	IE,	
		IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		-	
WC	2007	0086	73		A2		2007	0118	1	WO 2	006-1	US26!	537		2	0060	710	<
	W :	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CU,															
	•	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,			
		LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,			
		MW,	MX,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,	
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	
		US,	UZ,	VC,	VN,	ZA,	ZM,	ZW										
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
		IS,	IT,	LT,	LU,	ĽV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	MD,	RU,	TJ,	TM												
PRIORIT	Y APP	LN.	INFO	.:					1	US 2	004-9	55364	43P]	P 20	00403	316	<
									1	US 2	005-	72568	3	1	A2 20	00503	303	<

US 2005-177173 B2 20050708 <--WO 2005-US7359 W 20050307 <---US 2005-222313 A 20050908 <--

Entered STN: 30 Jun 2006 ED

A novel crystalline cefdinir anhydrate and novel crystalline cefdinir AB hydrates, ways to make them and use them, compns. comprising them and made with them, and methods of treatment using them are disclosed.

L13 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:544796 CAPLUS Full-text

DOCUMENT NUMBER:

145:34227

TITLE:

Crystalline cefdinir

INVENTOR(S):

Daemon, Otto; Hartmann, Klaus; Raneburger, Johannes

PATENT ASSIGNEE(S):

Austria

SOURCE:

U.S. Pat. Appl. Publ., 11 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006122165	A1	20060608	US 2005-294116	_	20051205 <
GB 2421024	Α	20060614	GB 2004-26837		20041207 <
PRIORITY APPLN. INFO.:			GB 2004-26837	Α	20041207 <

Entered STN: 09 Jun 2006 ED

The present invention relates to a new crystalline form of cefdinir and AB processes for the preparation thereof. Furthermore, the present invention relates to pharmaceutical compns. comprising said new crystalline form of cefdinir and to processes for preparing these compns.

L13 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

Full-text 2006:495880 CAPLUS

DOCUMENT NUMBER:

144:495395

TITLE:

Crystalline from of cefdinir ammonium salt as an intermediate for the preparation of pure cefdinir

INVENTOR(S):

Pozzi, Giovanni; Ghetti, Paolo; Balsamo, Gaetano;

Alpegiani, Marco; Cabri, Walter

PATENT ASSIGNEE(S):

Antibioticos S.p.A., Italy PCT Int. Appl., 20 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2006053625	A1 200605	526 WO 2005-EP11385	20051024 <
W: AE, AG, AL,	AM, AT, AU, A	AZ, BA, BB, BG, BR, BW,	BY, BZ, CA, CH,
CN; CO, CR,	CU, CZ, DE, I	DK, DM, DZ, EC, EE, EG,	ES, FI, GB, GD,
GE, GH, GM,	HR, HU, ID,	IL, IN, IS, JP, KE, KG,	KM, KP, KR, KZ,
LC, LK, LR,	LS, LT, LU, I	LV, LY, MA, MD, MG, MK,	MN, MW, MX, MZ,
NA, NG, NI,	NO, NZ, OM, I	PG, PH, PL, PT, RO, RU,	SC, SD, SE, SG,
SK, SL, SM,	SY, TJ, TM,	TN, TR, TT, TZ, UA, UG,	US, UZ, VC, VN,
YU, ZA, ZM,	ZW		
RW: AT, BE, BG,	CH, CY, CZ, I	DE, DK, EE, ES, FI, FR,	GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

IT 2004-MI2231 A 20041119 <--

ED Entered STN: 26 May 2006

The invention relates to a crystalline Cefdinir ammonium salt having a diffraction spectrum specified in the claims.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

· 4

ACCESSION NUMBER:

2006:317409 CAPLUS Full-text

DOCUMENT NUMBER:

144:357655

TITLE:

Crystalline forms of cefdinir potassium

INVENTOR(S):

Maheshwari, Nitin; Prasad, Ashok; Prasad, Mohan;

Kumar, Yatendra

CODEN: PIXXD2

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 23 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.					D 1	DATE		i	APPL:	ICAT:	ION	. O <i>v</i>		Di	ATE	•
WO	2006	 0352:	 91		 A1	_	2006	0406	1	WO 2	 005-:	 IB28!	 58		2	0050	 927 <
	W :	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
•		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ;	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC, LK, LR NA, NG, NI			LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,
		NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,
		SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,
		YU,	ZA,	ZM,	ZW										•		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										

PRIORITY APPLN. INFO.:

AB

IN 2004-DE1854 A 20040927 <--

ED Entered STN: 06 Apr 2006

The present invention relates to a novel crystalline potassium salt of cefdinir - cefdinir potassium tetrahydrate, processes for its preparation, pharmaceutical compns. including cefdinir potassium tetrahydrate, and methods of treating bacterial infections using cefdinir potassium tetrahydrate. addition, the present invention also relates to a mixture of cefdinir potassium dihydrate and cefdinir potassium tetrahydrate, processes for its preparation, pharmaceutical compns. including the mixture, and methods of treating bacterial infections using mixts. of cefdinir potassium dihydrate and cefdinir potassium tetrahydrate. Further it also relates to processes for preparing pure cefdinir and cefdinir potassium dihydrate from cefdinir potassium tetrahydrate. Potassium acetate (70 g) was added to a suspension of cefdinir (200 g) in a mixture of water (1000 mL) and acetone (1000 mL) at 25-30°. The reaction mixture was stirred at this temperature for three hours. The reaction mixture was then cooled to 10° and stirred for about two hours. The crystals were filtered, washed with aqueous acetone followed by acetone. The product was then dried at 25-30° in a hot air oven to obtain 189 g of cefdinir potassium tetrahydrate, yield: 94.5%, water content: 14.58%, and HPLC purity: 99.5%.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:167401 CAPLUS Full-text

DOCUMENT NUMBER: 144:239873

TITLE: Crystalline forms of cefdinir

INVENTOR(S): Mahendru, Manu; Aryan, Ram Chander; Kumar, Satish;

Pandya, Bhargav; Duggal, Sanjam; Gade, Sanjay; Kumar,

Yatendra

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT :	NO.			KIN	D .] -	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
	2006 2006				A1 A8		2006 2006	0223 0810	1	WO 2	005-	IB52	691		2	0050	815 <
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	ŞN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
PRIORITY	RIORITY APPLN. INFO.:									IN 2	004-	DE15	80	7	A 2	00408	316 <
										IN 2	004-	DE16	46	7	A 2	0040	831 <
											005-1	DE434	4	7	A 2	00502	228 <

ED Entered STN: 23 Feb 2006

AB The invention relates to processes for the preparation of crystalline polymorphic forms of cefdinir. More particularly, it relates to the preparation of crystalline polymorphic forms of cefdinir designated as Forms B and C. The invention also relates to pharmaceutical compns. that include the polymorphic forms B and C, and the use of the compns. for treating bacterial infections.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2006:103545 CAPLUS Full-text

DOCUMENT NUMBER:

144:177431

TITLE:

Preparation of crystalline anhydrous cefdinir and crystalline cefdinir hydrates and uses for treating

bacterial infection

INVENTOR(S):

Law, Devalina; Henry, Rodger F.; Lou, Xiaochun

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S.

Ser. No. 72,568.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2005-177202 US 2006025399 A1 20060202 20050708 <--US 2005209211 A1 ___ 20050922 US 2005-72568 20050303 <--CA 2558629 20050929 CA 2005-2558629 20050307 <--A1 20070124 EP 2005-724824 20050307 <--EP 1745053 **A1** AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR US 2006142563 20060629 US 2005-222299 **A1** 20050908 <--A1 20070118 WO 2006-US26538 WO 2007008674 20060710 <--AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: US 2004-553643P 20040316 <--A2 20050303 <--US 2005-72568 WO 2005-US7359 20050307 <--US 2005-177202 A2 20050708 <--US 2005-222299 20050908 <--

Entered STN: 03 Feb 2006 ED

The present invention relates to a novel crystalline cefdinir anhydrate and AB novel crystalline cefdinir hydrates, ways to make them and use them, compns. comprising them and made with them, and methods of treating bacterial infection by using them.

L13 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN Full-text 2006:100935 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

144:170819

TITLE:

Cefdinir polymorphic forms, and imidazole salt

INVENTOR(S):

Jaweed Mukarram, Siddiqui Mohammed; Khan, Rashid Abdul

Rehman; Mane, Avinash Seshrao

PATENT ASSIGNEE(S):

Wockhardt Limited, India

SOURCE:

PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT	NO.			KIN	D :	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
					_				-					_		
WO 2006	0109	78		A1		2006	0202	1	WO 2	004-	IB21	71		2	0040	630 <
W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	·LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

WO 2004-IB2171

20040630 <--

PRIORITY APPLN. INFO.:

Entered STN: 03 Feb 2006 ED

GI

A new crystalline Cefdinir imidazole salt (I) and polymorphic forms C, D and AB an amorphous form of Cefdinir were disclosed.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L13 ANSWER 15 OF 48

3

ACCESSION NUMBER:

2006:79174 CAPLUS Full-text

DOCUMENT NUMBER:

144:170818

TITLE:

Preparation of tertiary amine salts of

2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as

intermediates for cefdinir

INVENTOR(S):

Kremminger, Peter; Silberberger, Herbert

PATENT ASSIGNEE(S):

SOURCE:

Sandoz AG, Switz. PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PA	ATENT		KIN	D :	DATE		•	APPL	ICAT	ION 1	NO.		D	ATE			
 WC	2006	0081	60		A1	-	2006	0126	• 1	WO 2	 005-:	 EP79:	 58		20	0050	 721 <
	. M:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
•		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	·DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
	LC, LK, LR NG, NI, NO				LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NG, NI, NO			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
	NG, NI, NO SL, SM, SY				TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW											_		
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΗŲ,	IE,
•		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,		
		LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
	GM, KE, LS KG, KZ, MD				RU,	TJ,	TM										
PRIORIT	ry App	LN.	INFO	.:					(GB 2	004-	1637	9	j	A 20	0040	722 <

OTHER SOURCE(S): CASREACT 144:170818; MARPAT 144:170818

ED Entered STN: 27 Jan 2006

GI

Crystalline tertiary amine salts of 2-(2-aminothiazol-4-yl)-2(acyloxyimino)acetic acid compds. of formula (I) (R1, R2, R3 = independently
unsubstituted or substituted alkyl, cycloalkyl or aryl; R4 = acyl) are
prepared These salts may be obtained in anhydrous form and are useful in a
reaction step with an activating agent in order to produce cefdinir. Thus,
25.0 g syn-2-(2-aminothiazol-4-yl)-2- [[(methylcarbonyl)oxy]imino]acetic acid
monohydrate (water content: 8.0%) was suspended in 20 mL acetone at ambient
temperature and 5.2 mL tributylamine was added. The mixture was cooled to 10° and stirred at this temperature for 60 and filtered to give, after washing
with a small portion of cold acetone and dried in vacuum to give, 32.7 g
tributylammonium syn-2-(2-aminothiazol-4-yl)-2-

[[(methylcarbonyl)oxy]imino]acetate (water content: 0.1%) (II). II was converted into syn-2-(2-aminothiazol-4-yl)-2-

[[(methylcarbonyl)oxy]imino]acetic acid 2-benzothiazolyl thioester by treatment with bis(benzothiazol-2-yl) disulfide and then condensed with 7-amino-3-vinyl-cephem-4-carboxylic acid to give 7-[2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetamido]-3-vinylcephem-4-carboxylic acid phosphate which was converted into cefdinir by treatment with a mixture of concentrated H2SO4 in MeOH.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:76118 CAPLUS Full-text

DOCUMENT NUMBER:

144:170817

TITLE:

Preparation of alkamide solvates of

2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as

intermediates for cefdinir

INVENTOR(S):

Kremminger, Peter; Silberberger, Herbert

PATENT ASSIGNEE(S):

Sandoz AG, Switz.

SOURCE: PCT Int. Appl., 15 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2006008161 A1 20060126 WO 2005-EP7963 20050721 <-W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

GB 2004-16380 A 20040722 <--

OTHER SOURCE(S):

CASREACT 144:170817; MARPAT 144:170817

ED Entered STN: 27 Jan 2006

GI

Crystalline N, N-dimethylalkamide solvates of 2-(2-aminothiazole -4-yl)-AB 2(acyloxyimino)acetic acid compds. of formula (I) [R1 = H, (un)substituted alkyl; R4 = acyl] are prepared These compds. may be prepared in an anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 15.0 g syn-2-(2-aminothiazol-4- yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid dihydrate (H2O content 13.5%) was dispensed into 54.0 mL N, N-dimethylacetamide at 50° and stirred for 90 min. The crystalline suspension was cooled to 0°, treated with 150 mL CH2Cl2 and the white crystals were filtered, washed three times, each with 30 mL CH2Cl2, and dried over night in vacuum at 30° to give 15,9 g syn-2-(2-aminothiazol-4yl)-2- [[(methylcarbonyl)oxy]imino]acetic acid N,N-dimethylacetamide solvate (II) (water content 0.4 %). II was converted into syn-2-(2-aminothiazol-4yl) - 2-[[(methylcarbonyl)oxy]imino]acetic acid benzothiazol-2-yl thioester by treatment with bis(benzothiazol-2-yl) disulfide followed by amidation with 7amino-3-vinylcephem-4-carboxylic acid and acidification with phosphoric acid to give 7-[2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acet amido]-3-vinylcephem-4-carboxylic acid phosphate (III). Cefdinir was obtained by treatment of III with a mixture of concentrated H2SO4 and MeOH.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2006:54564 CAPLUS Full-text

DOCUMENT NUMBER:

144:128794

TITLE:

News salts in the preparation of cephalosporin

antibiotics

CODEN: PIXXD2

INVENTOR(S):

Senthilkumar, Udayampalayam Palanisamy; Lakshmipathi, Venu Sanjeevi; Andrew, Gnanaprakasam; Chandrasekaran, Ramasubbu; Nagender Rao, Dindigala; Om Reddy, Gaddam

PATENT ASSIGNEE(S):

Orchid Chemicals & Pharmaceuticals Limited, India

SOURCE:

PCT Int. Appl., 23 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT		KIN		DATE					ION I			D	ATE			
WO	20,06	0060	40												2	0050	704 <
WO	2006	0060	40		A3		2006	0921									
WO	2006	0060	40		B1		2006	1102									
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
•	LC, LK, NG. NI.				LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
	NG, NI,				NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
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		ZA,	ZM,	ZW													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	.GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
		GM,	KE,	LS,	MW,	MŻ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM								•		
IN	KG, KZ, MD IN 2004CH00637						2006	0526		IN 2	004-0	CH63'	7		. 20	0040	705 <
PRIORIT	IN 2004CH00637 IORITY APPLN. INFO.:									IN 2	004-0	CH63	7	j	A 20	0040	705 <
OTHER SO																	
ED En	tered	STN	: 2	0 Ja	n 200	06											

The present invention relates to an improved process for the preparation of cephalosporin antibiotics via the formation of intermediate diamine salts of the general form Cp.nM [Cp = cephalosporin antibiotic, such as Cefdinir, Cefoxitin, Cefonicid, etc.; M = ethylenediamine derivative, such as N,N'-disobutyl-, N,N'-dicyclohexyl-, N,N'-diisopentyl-, N,N'-di(p-anisyl)-, N,N'-dicyclopentyl-, N,N'-di(p-tolyl)-1,2- ethanediamine; n = 0.5 - 2]. Thus, the N,N'-diisobutyl-1,2-ethanediamine salt of Cefonicid (I) was prepd via a reaction of 7 β -aminocephem II with O-formyl-D-mandeloyl chloride, adjustment of the reaction mixture to pH 5±1, and finally, addition of the diacetate salt of Me2CHCH2NH(CH2)2NHCH2CHMe2.

L13 ANSWER 18 OF 48 CAPL ACCESSION NUMBER: 2
DOCUMENT NUMBER: 1

CAPLUS COPYRIGHT 2007 ACS on STN 2005:1329628 CAPLUS Full-text

144:51373

TITLE:

Process for the preparation of Cefdinir

INVENTOR(S):

Kumar, Raaj

PATENT ASSIGNEE(S):

Teva Pharmaceutical Industries Ltd., Israel; Teva

Pharmaceuticals USA, Inc.

SOURCE:

PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT:

PA'	TENT :		KIN	D	DATE		•	APPL	ICAT	ION	NO.		D	ATE				
					-	-									-			•
WO	2005	1211	54		A1		2005	1222	1	WO 2	005-	US20	141		2	0050	608 <	
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
		SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
		ZA,	ZM,	ZW	•													
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		AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	ĊZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												

PRIORITY APPLN. INFO.:

US 2004-578203P 20040608 <--

OTHER SOURCE(S): CASREACT 144:51373; MARPAT 144:51373

Entered STN: 22 Dec 2005 ED

GI

$$H_{2N}$$
 S OH CO N H S CH_{2} CH_{2} I

Provided are intermediates for use in synthesis of Cefdinir (I) and processes ABfor preparing Cefdinir with such intermediates. Thus, I was prepared with 95% purity via an amidation reaction of 7-amino-3-vinyl-3-cephem-4- carboxylic acid with (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate in THF/H2O using Et3N.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 48 L13 ACCESSION NUMBER:

COPYRIGHT 2007 ACS on STN CAPLUS CAPLUS Full-text 2005:1178074

DOCUMENT NUMBER:

143:440150

TITLE:

Preparation of a stable bioavailable crystalline form

of cefdinir as an antimicrobial pharmaceutical

INVENTOR(S):

Singh, Girij Pal; Sen, Himadri; Srivastava, Dhananjai;

Godbole, Himanshu Madhav; Singh, Gurvinder Pal;

Mahajan, Pravin Raghunath; Rananaware, Umesh Babanrao;

Nehate, Sagar Purushottam; Wagh, Sanjay Chhagan

PATENT ASSIGNEE(S): Lupin Ltd, India

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. PATENT NO. KIND DATE US 2005245738 20051103 US 2004-838431 A1 20040503 <--US 2006149056 20060706 US 2006-365915 A1 20060302 <--US 2004-838431 · A3 20040503 <--PRIORITY APPLN. INFO.:

OTHER SOURCE(S): CASREACT 143:440150; MARPAT 143:440150

ED Entered STN: 06 Nov 2005

GI

The present invention relates to a stable and bioavailable crystalline form of a third generation cephalosporin antibiotic, cefdinir (I) and a process for the preparation thereof. Thus, I can be prepared by reacting Et (Z)-2-(2-aminothiazol-4-yl)-2-(hydroxyimino)acetate with trityl chloride to get the tritylated amino compound which was hydrolyzed to the sodium salt. The latter compound condensed with cephemcarboxylate II giving protected cefdinir; after deprotection the desired compound was obtained. The present invention also relates to a pharmaceutical composition containing the novel crystalline cefdinir, useful in the treatment of maladies such as bacterial infections.

L13 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1154562 CAPLUS Full-text

DOCUMENT NUMBER: 143:427351

TITLE: Preparation of stable amorphous cefdinir

INVENTOR(S): Server, Nancy E.; Law, Devalina

PATENT ASSIGNEE(S): Abbott Laboratories, USA SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005100368	A2	20051027	WO 2005-US12439 .	20050411 <
WO 2005100368	A3	20060824		

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
             NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
             SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
             ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
                                20060330
                                            US 2004-821695
    US 2006069079
                          A1
                                                                    20040927 <--
                                            CA 2005-2562083
    CA 2562083
                                20051027
                          A1
                                                                    20050411 <--
                          A2
                                20070207
                                            EP 2005-735632
     EP 1749013
                                                                    20050411 <--
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, LV, MK, YU
PRIORITY APPLN. INFO.:
                                            US 2004-821695
                                                                 A 20040927 <--
                                            WO 2005-US12439
                                                                    20050411 <--
                                                                 W
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Entered STN: 28 Oct 2005 ED

The present invention relates to stable amorphous cefdinir (syn isomer), AB methods for its preparation, and pharmaceutical compns. comprising the stable amorphous form. Amorphous cefdinir was characterized with Eudragit EPO.

L13 ANSWER 21 OF 48 COPYRIGHT 2007 ACS on STN CAPLUS CAPLUS Full-text ACCESSION NUMBER: 2005:1154561

DOCUMENT NUMBER:

143:422199

TITLE:

Intermediates useful in the synthesis of 3-(2-substituted-vinyl)cephalosporins

INVENTOR(S):

Kumar, Yatendra; Prasad, Mohan; Singh, Kaptan; Prasad,

Ashok; Richhariya, Santosh

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 33 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

	PATENT NO.			KIND DATE				APPLICATION NO.						DATE				
	WO	2005	1003	67	•	A1		2005	1027	1	WO 2	005-	IB97	8	20050413 <			
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	.MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,
			SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,
			ZM,	ZW				•										•
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BĒ,	BG,	CH,	CY,	CZ,	DE,	DK,
			EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
			MR,	NE,	SN,	TD,	TG											
PRIO	RIT	APP	LN.	INFO	.:					,	IN 2	004-1	DE70	8	I	A 20	00404	413 <
OTHE	R SC	OURCE	(S):			CAS	REAC	T 14	3:42	2199	; MAI	RPAT	143	:422	199			
ED	Ent	ered	STN	: 2	8 Oc	t 20	05											
CT																		

This invention relates to the preparation of crystalline ylide intermediates, such as I [R = H, esterifying residue, metal cation; R1, R2 = H, amine protecting group; R1R2 = divalent amine protecting group], which are useful in the synthesis of 3-(2-substituted-vinyl)cephalosporins. Thus, 7-phenylacetamido-3-(chloromethyl)-3-cephem-4-carboxylic acid diphenylmethyl ester was reacted with PPh3 using NaBr in DMF and CH2Cl2 to form phosphoranylidene ylide I (R = CHPh2, R1 = H, R2 = COCH2Ph) as a crystalline solid. The phosphoranylidene ylide thus formed was then used as an intermediate in the synthesis of the cephalosporin antibiotic, cefditoren sodium (II).

II

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1050932 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

143:332490

TITLE:

Novel polymorph of cefdinir

INVENTOR(S):

Chandrasekaran, Ramasubbu; Senthilkumar, Krishnan; Murugan, Saravan; Sangaraju, Venkatasubba Raju

Sivaiah; Reddy, Gaddam Om

PATENT ASSIGNEE(S):

Orchid Chemicals & Pharmaceuticals Ltd., India

SOURCE:

U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
•	US 2005215781	A1	20050929	US 2005-79180	20050315 <			
PRIOF	RITY APPLN. INFO.:			US 2004-553552P P	20040317 <			
ED	Entered STN: 30 Sep	2005						

GI

$$H2N$$
 OH
 OH
 OH
 CH_2
 CO_2H
 I

The present invention relates to novel polymorph (crystal form D) of cefdinir (I). Crystal form D of I was prepared from the N,N'-dicyclohexylethane-1,2-diamine salt of I.

L13 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1042254 CAPLUS Full-text

DOCUMENT NUMBER:

143:332671

TITLE:
INVENTOR(S):

Novel polymorph of cefdinir with improved stability Chandrasekaran, Ramasubbu; Senthilkumar, Krishnan; Murugan, Saravanan; Sangaraju, Venkatasubba Raju

Sivaiah; Reddy, Gaddam Om

PATENT ASSIGNEE(S):

Orchid Chemicals & Pharmaceuticals Limited, India

SOURCE:

PCT Int. Appl., 24 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIN	D :	DATE		j	APPL	ICAT:	ION 1	NO.		D	ATE		
	WO 200	50903	60		A1	-	 2005	0929	1	WO 2	005-1	 IB65:	2		20	0050	 315 <	: - -
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	-
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
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	IN 200	4CH00	247		A		2006	0113	•	IN 2	004-0	CH24	7		20	040	319 <	: - -
PRIO	RIORITY APPLN: INFO.:			.:					,	IN 2	004-0	CH24	7 · ·		A 20	040	319 <	: - -
다	Entore	א פיינאו	. 2	0 00	200	^ =					•							

ED Entered STN: 29 Sep 2005

AB A method is presented for preparation of a novel polymorph of cefdinir, i.e., the crystalline Form D, by adjusting the pH of a solution of cefdinir salt in mixture of water and organic solvent to 2.5 to 2.7 at low temperature to get cefdinir with new crystal lattice which has better stability. For example, N,N'-dicyclohexylethane-1,2-diamine salt of cefdinir (cefdinir DDA salt) was prepared by adding to 7-amino-3-vinyl-3-cephem-4-carboxylic acid (100 g) in a mixture of THF and water triethylamine (90.0 g) at 20°, followed by 2-mercaptobenzothiazolyl (Z)-(2-aminothiazol-4-yl)-2- (trityloxyimino)acetate (260 g) at 32°, and addition of a solution of N,N'-dicyclohexylethane-1,2-diamine (80 g) in isopropanol to yield 220 g of cefdinir DDA salt (purity

98.27%, water content 1.0%). Cefdinir DDA salt (125 g) was stirred in a mixture of water (3750 mL) and acetone (250 mL) at 35 to 38° and aqueous HCl acid was added to adjust pH to 1.2 to 1.8. After stirring for 5 to 10 min, pH was adjusted to 6.0 using ammonia solution (100 mL). Then carbon was added and stirred at 35 to 38° for 30 min. The filtrate was cooled to 15° and pH was adjusted to 1.5 using aqueous HCl acid to get a clear solution. Then pH was readjusted to 2.5 using ammonia solution at 10 to 15°. The white slurry was stirred for 3 h, the precipitate was filtered, washed with water and air dried to get 66.5 g of cefdinir Form D (purity 98 to 99%, water content 15.07%).

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:626961 CAPLUS Full-text

DOCUMENT NUMBER:

143:115388

TITLE:

Process for the preparation of cefdinir Na

INVENTOR(S):

Wang, Dengzhi; Hou, Peng

PATENT ASSIGNEE(S):

Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 4 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1415615	A	20030507	CN 2002-146335	20021024 <
PRIORITY APPLN. INFO.:			CN 2002-146335	20021024 <

OTHER SOURCE(S):

CASREACT 143:115388

ED Entered STN: 20 Jul 2005

AB Cefdinir Na is prepared by reaction of cefdinir with NaHCO3 at a molar ratio of 1:1, precipitation with ethanol, and vacuum drying at low temperature

L13 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:547252 CAPLUS Full-text

DOCUMENT NUMBER:

143:65485

TITLE:

Cefdinir crystal B as novel crystalline form and

method for preparation

INVENTOR(S):

Dandala, Ramesh; Sivakumaran, Meenakshisunderam

PATENT ASSIGNEE(S):

India

SOURCE:

U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S.

Ser. No. 634,978. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
· US 2005137182	A1	20050623	US 2004-976230	20041029 <
US 2004242556	A1	20041202	US 2004-634978	20040224 <
PRIORITY APPLN. INFO.:			IN 2003-MA440	A 20030602 <
•			US 2004-634978	A2 20040224 <

ED Entered STN: 24 Jun 2005

The present invention relates to novel crystalline form of Cefdinir, 7β -[(Z)-2-(2-amino-4-thiazolyl)-2-hydroxyiminoacetamido]-3-vinyl-3- cephem-4-

carboxylic acid, herein referred as cefdinir crystal B, processes for preparing cefdinir crystal B, and the incorporation of cefdinir crystal B in pharmaceutical compns. A process for preparing crystalline cefdinir crystal B comprises the steps of: reacting crystals A of cefdinir in water with trifluoroacetic acid at about 35-40°C to form cefdinir trifluoroacetic acid salt; optionally isolating the cefdinir trifluoroacetic acid salt; neutralizing the cefdinir trifluoroacetic acid salt by treatment with a base in water at a temperature between about 0- to 30°C; and isolating cefdinir crystal B by filtration.

L13 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:450931 CAPLUS Full-text

DOCUMENT NUMBER: 142:487516

TITLE: Cefdinir pyridine salt

INVENTOR(S): Duerst, Richard W.; Law, Devalina; Lou, Xiaochun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 10 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005113355	A1	20050526	US 2004-939908	20040913 <
PRIORITY APPLN. INFO.:			US 2003-502441P	P 20030912 <

ED Entered STN: 27 May 2005

The present invention relates to a novel pyridine salt of 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4-carboxylic acid (syn isomer), methods for its preparation, and pharmaceutical compns. comprising the salt.

L13 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:238740 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 142:298138

TITLE: A preparation of cefdinir pyridine salt, useful for

the treatment of bacterial infections

INVENTOR(S): Duerst, Richard W.; Law, Devalina; Lou, Xiaochun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S.

Ser. No. 661,148.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	•	DATE	
US 2005059819	A1	20050317	US 2004-778851		20040213 <	
- US 2005059818	A1	20050317	US 2003-661148		20030912 <	
PRIORITY APPLN. INFO.:			US 2003-661148	A2	20030912 <	

ED Entered STN: 18 Mar 2005

The invention relates to a preparation of novel pyridine salt of 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4- carboxylic acid (cefdinir), useful for the treatment of bacterial infections (no biol. data). The solubility of cefdinir in pyridine was estimated A suspension of

cefdinir in pyridine was allowed to stand at room temperature After 1 wk, the solid from the suspension was separated and the powder X-ray diffraction pattern, 1H NMR, TGA, and IR spectrum of the moist solid were generated.

L13 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1037109 CAPLUS Full-text

DOCUMENT NUMBER: 142:28168

TITLE: Crystalline form of cefdinir

INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Prasad, Ashok

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT	NO.			KIN	D :	DATE			APPL:	ICAT:	ION I	NO.		D	ATE	
WO	2004	 1040	10		A1	-	 2004:	1202	,	WO 2	 004-:	 IB16:	 29		2	 0040!	 520 [°] <
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DĖ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
		SN,	TD,	TG									•				

PRIORITY APPLN. INFO.:

IN 2003-DE711 A 20030520 <--

ED Entered STN: 03 Dec 2004

The invention relates to a new crystalline form of cefdinir. More particularly, it relates to the preparation of new crystalline form of cefdinir, referred to as 'Form R' and pharmaceutical compns. that include the 'Form R'. It also relates to a method of treatment of infectious diseases comprising administration of the 'Form R'. The Form R was obtained from crystalline cefdinir K salt.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:1036707 CAPLUS Full-text

DOCUMENT NUMBER: 142:23139

TITLE: Process for preparing Cefdinir

INVENTOR(S): Dandala, Ramesh; Korrapati, V. V. Prasada Rao;

Sivakumaran, Meenakhshisunderam Aurobind - Pharma Ltd., India

SOURCE: U.S. Pat. Appl. Publ., 6 pp. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242557	A1	20041202	US 2003-676914	20031001 <

US 7105659

20060912 **B2**

PRIORITY APPLN: INFO.:

IN 2003-MA441 A 20030602 <--

OTHER SOURCE(S):

CASREACT 142:23139

Entered STN: 03 Dec 2004 ED

·GI

A process was disclosed for the preparation of the intermediate thioester, 2-AB mercapto-benzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2- acetyloxyiminoacetate (I), and its subsequent amidation reaction with 7-amino-3-vinyl-3-cephem-4carboxylic acid II (R = H) or a corresponding cephem ester, such as II (R = C6H4-4-OMe, C6H4-4-NO2, CHPh2), to form the β -lactam antibiotic Cefdinir (III).

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 30 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

Full-text 2004:1036706 CAPLUS

DOCUMENT NUMBER:

142:28157

TITLE:

Novel crystalline form of cefdinir

INVENTOR(S):

Dandala, Ramesh; Sivakumaran, Meenakshisunderam

PATENT ASSIGNEE(S):

India U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE			
US 20042425	56 A1	20041202	US 2004-634978	•	20040224	<		
US 20051371	82 A1	20050623	US 2004-976230		20041029	<		
PRIORITY APPLN.	INFO.:		IN 2003-MA440	A	20030602	<		
		•	US 2004-634978	A2	20040224	<		

03 Dec 2004 Entered STN: ED

The present invention relates to novel crystalline form of cefdinir (cefdinir ABCrystal B; water content of 5.5 to 7.0% by weight), process to prepare it and the use of cefdinir Crystal B in pharmaceutical compns. A process for preparing crystalline cefdinir Crystal B comprises the steps of (i) reacting cefdinir Crystal A in water with trifluoroacetic acid at 35 to 40° to form cefdinir trifluoroacetic acid salt (CTFA salt), (ii) optionally isolating the CTFA salt, and (iii) neutralizing the CTFA salt by treatment with a base in

water at a temperature between 0° and 30°, isolating cefdinir Crystal B by A pharmaceutical composition comprises a therapeutically effective amount of cefdinir Crystal B and a pharmaceutically acceptable carrier.

L13 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:817895 CAPLUS Full-text DOCUMENT NUMBER: 141:320013 Novel crystal of 7-[2-(2-aminothiazole-4-yl)-2-TITLE: hydroxyiminoacetamido] - 3 - vinyl - 3 - cephem - 4 - carboxylic acid (syn isomer) and method for preparation thereof Imai, Eiji; Niwa, Hiroyuki INVENTOR(S): Shiono Chemical Co. Ltd., Japan PATENT ASSIGNEE(S): PCT Int. Appl., 41 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND PATENT NO. DATE APPLICATION NO. DATE A1 WO 2004085443 20041007 WO 2004-JP3622 20040318 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004224045 20041007 AU 2004-224045 A1 20040318 <--CA 2520083 A1 20041007 CA 2004-2520083 20040318 <--20051228 EP 1609793 A1 EP 2004-721656 20040318 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

20060517

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

WO 2004-JP3622

A

CASREACT 141:320013 OTHER SOURCE(S):

Entered STN: 07 Oct 2004 ED

CN 1774441

PRIORITY APPLN. INFO.:

Disclosed is a novel crystal (B-type crystal) of 7-[2-(2-aminothiazole-4-yl)-AB2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (a syn isomer), characterized in that it exhibits peaks at diffraction angles shown in the following Table 1, in its powder X ray diffraction pattern; Table 1 Diffraction Angle 2θ (°) approx. 11.7 approx. 16.1 approx. 18.6 approx. 21.2 approx. 22.3 approx. 24.4 approx. 26.2 and a method for preparing the novel crystal which comprises forming a crystal from a solution at a temperature of -5 to 5°C in an acidic state. The crystal is not bulky, exhibits good stability and good filterability, and is excellent in the solubility toward water, and thus can be prepared with ease.

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CN 2004-80010386

JP 2003-81273

20040318 <--

A 20030324 <--

W 20040318 <--

L13 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:565196 CAPLUS Full-text

22

DOCUMENT NUMBER:

141:123514

TITLE:

Preparation of cephalosporins and their intermediates

Datta, Debashish; Dantu, Muralikrishna; Mishra,

Brijkishore; Sharma, Pollepeddi Lakshmi Narayana

PATENT ASSIGNEE(S):

Lupin Limited, India

SOURCE:

GI

PCT Int. Appl., 43 pp. CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

	PATENT NO.					KIND DATE.		APPLICATION NO.											
	WO	2004	 0586	95		A1	_	2004	0715							2	0021	226 <-	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
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Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N, N-dimethyl formiminium chloride ABchlorosulfate derivs., such as XCH2COC(:NOR)COSO2OCH:NMe2Cl I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group, CH2COOR5, C(CH3)2COOR5, wherein R5 = H, an easily hydrolyzable ester group], were prepared as intermediates for their use in the preparation of cephalosporin antibiotics, such II [R1 = R; R1 = H, OMe; R2 = H; R3 = H, a neg. charge or together with the CO2- group to which R3 is attached = ester, alkali, alkaline earth metal; R4 = H, substituent useful in cephalosporin chemical]. process of preparing I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at

a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7aminocephalosporanic acid in CH2Cl2 containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino- 3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be prepared from the intermediate include cefdinir, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, cefteram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

ANSWER 33 OF 48 COPYRIGHT 2007 ACS on STN CAPLUS L13

ACCESSION NUMBER:

2004:546513 CAPLUS Full-text

DOCUMENT NUMBER:

141:88964

TITLE:

INVENTOR(S):

Process for preparing crystalline cefdinir salts Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani,

Marco; Cabri, Walter

PATENT ASSIGNEE(S):

Antibioticos S.p.A., Italy

SOURCE:

PCT Int. Appl., 14 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

. P	PATENT NO.						D	DATE		j	APPL:	ICAT	ION 1	NO.		, D	ATE		
w	10 2	2004	0568	35.,		A1	_	2004	0708	1	WO 2	 003-:	 EP13	524		2	0031	201	<
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
•			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
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OTHER SOURCE(S): MARPAT 141:88964

Entered STN: 08 Jul 2004 ED

GI

Cefdinir salts, such as I.nH3PO4 [R1, R2 = H; n = 1 - 3 (II)], the hydrates AB and solvates thereof, were prepared from cefdinir intermediates, I (R1 = benzhydryl, trityl, p-methoxybenzyl; R2 = benzhydryl, tert-Bu, pmethoxybenzyl), or crude cefdinir I (R1, R2 = H) by the treatment with phosphoric acid. Thus, I (R1 = CPh3, R2 = H) was dissolved in 85% phosphoric acid and acetonitrile, and reaction mixture was heated at 45°C for 2 h, to afford cefdinir phosphate. The use of II for the preparation and purification of cefdinir is also disclosed.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:453223 CAPLUS Full-text

DOCUMENT NUMBER:

141:6966

TITLE:

Process for preparing cefdinir and its amorphous

hydrate

INVENTOR(S):

Deshpande, Pandurang Balwant; Khadangale, Bhausaheb

APPLICATION NO.

DATE

Pandharinath; Ramasubbu, Chandrasekaran

PATENT ASSIGNEE(S):

Orchid Chemicals & Pharmaceuticals Ltd., India

SOURCE:

PCT Int. Appl., 26 pp.

DATE

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

GI

English

1

KIND

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

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WO	2004	0461	54		Al	•	2004	0603		WO . 2	003-	IB50	32		2	0031	110 <	-
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	
		OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	•
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU	2003	2765	25		A1	,	2004	0615	3	AU 20	003-2	2765	25		2	0031	110 <	_
US	2006	0947	03		A1		2006	0504	1	US 20	005-	5327	53		2	0050	513 <	_
PRIORIT	Y APP	LN.	INFO	.:						IN 20	002-1	MA84	3		A 20	0021	115 <	_
										IN 20	003-1	MA15	2	j	A 20	0030	226 <	_
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OTHER S	OURCE	(S):			CASI	REAC'	T 14	1:69	66; 1	MARP	AT 1	41:69	966					
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The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III_(X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2- aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

L13 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:355098 CAPLUS Full-text

DOCUMENT NUMBER:

140:375021

TITLE:

Intermediate cefdinir salts

INVENTOR(S):

Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani,

And the second of the second o

Marco; Cabri, Walter

PATENT ASSIGNEE(S):

Antibioticos S.P.A., Italy

SOURCE:

PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	rent 1	NO.			KIN	D .	DATE			APPL:	ICAT:	ION 1	NO.		D.	ATE		
	2004 2004						2004 2004			WO 2	003-1	EP10'	718		2	0030	926	<
	W:						AU,			BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
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•		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		•	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
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		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВĴ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
CA	2500	791			A1		2004	0429	ı	CA 2	003-	2500	791		2	0030	926	<
AU	2003	2935	85		A1		2004	0504		AU 2	003-	2935	85		2	0030	926	<
EP	1546	155			A2		2005	0629	•	EP 2	003-	7889	21		2	0030	926	<
EP	1546	155			B1		2006	0705										
	R:	AT,	BE,	CH,	DE,	·DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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JP	2006	5013	05		${f T}$		2006	0112	ı	JP 2	004-	54404	46		2	0030	926	<
AT	3323	04		•	${f T}$		2006	0715		AT 2	003-	7889	21		2	0030	926	<
US	2006	1115	66		A1		2006	0525	•	US 2	005-	5296	49		2	0051	011	<
PRIORIT	RIORITY APPLN. INFO.:								•	IT 2	002-1	MI20'	76	Ž	A . 2	0021	001	<
									1	WO 2	003-1	EP10	718	Ţ	₩ 2	0030	926	<

OTHER SOURCE(S): MARPAT 140:375021

ED Entered STN: 30 Apr 2004

GI

$$H_2N$$
 S
 OH
 S
 CO_2H
 II

Disclosed are salts of the general formula (I) wherein R1 is H or an aminoprotecting group, R2 is and OH-protecting group, and B is NH3 or an organic base, and a process for the preparation thereof. These salts are useful intermediates for the preparation of cefdinir (II).

L13 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:162698 CAPLUS Full-text

DOCUMENT NUMBER:

140:217437

TITLE:

Process for the preparation of cefdinir intermediate

INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher,

Johannes

PATENT ASSIGNEE(S):

Sandoz G.m.b.H., Austria PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

	PAT	ENT I	NO.			KINI)	DATE		j	APPL:	ICAT:	ION 1	NO.		D	ATE	
•	WO	2004	 0166:	23	•	A1	-	2004	0226	1	WO 2	003-1	 EP89	4 4		2	0030	812 <
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			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LT,	LU,
			LV,	MA,	MD,	MK,	MN,	MX,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,
			SC,	SE,	SG,	SK,	SY,	TJ,	TM,	TN,	TR,	TT,	UA,	US,	UZ,	VC,	VN,	YU,
			ZA,	ZW														
		RW:	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,
			DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,
			SI,	SK,	TR										•			
	AU	2003	2554:	24 -		-A1		2004	0303	j	AU 20	003-2	25542	24	•	2	0030	812 <
•	ΕP	15542	289			A1		2005	0720]	EP 20	003-	7877	71		20	0030	812 <
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	JP	2006	5003	56		T		2006	0105	,	JP 20	004-	5284	69		2	0030	812 <
	US	2006	0255	86		A1		2006	0202	1	US 20	005-	5243	97		2	00502	211 <
PRIOR	RITY	APP	LN.	INFO	. :					j	AT 20	002-	1223		7	A 20	0020	813 <

AT 2002-1588

20021018 <--'W' 20030812 <--

WO 2003-EP8944

OTHER SOURCE(S):

MARPAT 140:217437

ED

Entered STN: 29 Feb 2004 GI

A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-AB (methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl-, HSO4-,RYO3-, H2NSO3-, 1/2(SO4)2-; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino) -acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino) -acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L13 ANSWER 37 OF 48

ACCESSION NUMBER:

2003:472518 CAPLUS Full-text

DOCUMENT NUMBER:

139:41841

TITLE:

Preparation of crystalline cefdinir potassium

dihydrate

INVENTOR(S):

Kumar, Yatendra; Prasad, Mohan; Prasad, Ashok; Singh,

Shailendra Kumar, Kumar, Neela Praveen

PATENT ASSIGNEE(S):

Ranbaxy Laboratories Limited, India

SOURCE:

PCT Int. Appl., 16 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

English

CODEN: PIXXD2

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003050124	A1 20030	0619 WO 2002-IB5315	20021212 <
W: AE, AG, AI	, AM, AT, AU,	AZ, BA, BB, BG, BR, BY, B	3Z, CA, CH, CN,
CO, CR, CU	, CZ, DE, DK,	DM, DZ, EC, EE, ES, FI, C	GB, GD, GE, GH,
GM, HR, HU	, ID, IL, IN,	IS, JP, KE, KG, KP, KR, F	KZ, LC, LK, LR,
LS, LT, LU	, LV, MA, MD,	MG, MK, MN, MW, MX, MZ, N	NO, NZ, OM, PH,
PL, PT, RO	, RU, SC, SD,	SE, SG, SK, SL, TJ, TM, T	IN, TR, TT, TZ,
UA, UG, US	, UZ, VC, VN,	YU, ZA, ZM, ZW	
RW: GH, GM, KE	, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZM, Z	ZW, AM, AZ, BY,
KG, KZ, MI	, RU, TJ, TM,	AT, BE, BG, CH, CY, CZ, I	DE, DK, EE, ES,

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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
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                                20031106
                                            WO 2002-IB1410
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                                                                    20020426 <--
     WO 2003091261
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
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                                20031110
                                                                    20020426 <--
                          A1
                                            AU 2002-307805
     AU 2002307805
     BR 2002015709
                                20050329
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                          Α
                                           CN 2002-829048
     CN 1628118
                          A
                                20050615
                                                                    20020426 <--
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                                            EP 2002-807297
     EP 1546154
                          A1
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                                20051013
                                            JP 2003-587819
                                                                    20020426 <--
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                          T
                                                                   20021212 <--
                                20030623
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                          A1
     AU 2002347539
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                                                                    20021212 <--
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     EP 1458728
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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                                20050414
                                            US 2004-498406
                                                                    20021212 <--
     US 2005080255
                          A1
                                            CN 2002-828008
     CN 1617875
                                20050518
                                                                    20021212 <--
                          A
                                            JP 2003-551148
                                                                    20021212 <--
                                20050602
     JP 2005516011
                          T
                                                                    20050714 <--
                          A1
                                20060223
     US 2006040915
                                            US 2005-513004
                                                                    20011213 <--
                                            IN 2001-DE1242
PRIORITY APPLN. INFO.:
                                                                    20020426 <--
                                            WO 2002-IB1410
                                            WO 2002-IB5315
                                                                    20021212 <--
     Entered STN:
                   20 Jun 2003
ED
     The present invention relates to a novel crystalline cefdinir potassium
AB
     dihydrate (I), to a process for its preparation and to a method of preparing
     pure cefdinir via the crystalline salt. Thus, cefdinir was suspended in water
     and acetone and potassium acetate was added to the suspension to form the I.
                               THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                         5
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
                      CAPLUS COPYRIGHT 2007 ACS on STN
L13 ANSWER 38 OF 48
                         2003:408080 CAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         140:42117
                         An alternative procedure for preparation of cefdinir
TITLE:
                         Gonzalez, Maritza; Rodriguez, Zalua; Tolon, Blanca;
AUTHOR(S):
                         Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara;
                         Lopez, Miguel A.; Fini, Adamo
                         Department of Chemical Synthesis, Center of
CORPORATE SOURCE:
                         Pharmaceutical Chemistry, Atabey, Ciudad de la Habana,
                         Playa, 200, Cuba
                         Farmaco (2003), 58(6), 409-418
SOURCE:
                         CODEN: FRMCE8; ISSN: 0014-827X
                         Editions Scientifiques et Medicales Elsevier
PUBLISHER:
                         Journal
DOCUMENT TYPE:
                         English
LANGUAGE:
OTHER SOURCE(S):
                         CASREACT 140:42117
     Entered STN:
                   29 May 2003
ED
     Cefdinir, a broad spectrum third-generation cephalosporin for oral
AB
     administration, was prepared by the following synthetic pathway: synthesis of
     diphenylmethyl 7\beta-amino-3-vinyl-3-cephem-4-carboxylate hydrochloride from 7-
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aminocephalosporanic acid (7-ACA), preparation of sodium 2-(2-

tritylaminothiazol-4-yl)-(Z)-2-(tritylhydroxyimino) acetate from Et acetoacetate, coupling of both intermediaries to obtain diphenylmethyl 7β -[2-(2-tritylaminothiazol-4-yl)-(Z)-2-tritylhydroxyimino]-3-vinyl-3- cephem-4carboxylate and final cleavage of trityl and diphenylmethyl protective groups. This procedure allows to obtain better yields of cefdinir and to avoid the use of diketene during the synthesis of this antibiotic by the previously reported method.......

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS 24 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN L13 ANSWER 39 OF 48

ACCESSION NUMBER:

2003:334829 CAPLUS Full-text

DOCUMENT NUMBER:

138:343889

TITLE:

Novel pharmaceutical compounds containing drugs bound

to polypeptides

INVENTOR(S):

Picariello, Thomas

PATENT ASSIGNEE(S):

New River Pharmaceuticals Inc., USA

SOURCE:

PCT Int. Appl., 4662 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

19

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	CENT 1				KIN	D	DATE		;	APPL	ICAT:	ION 1	NO.			ATE		
		2003	0349	80					0501	7	WO 2	001-	US43	089			0011		<
	WO	2003				A8			1103			5.0	22	D11	D. 17	C 3	CII	CUT	
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			UG,	US,	UZ,	VN,	YU,	ZA,	ZW										
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	CA	2428	971			A1		2003	0501	(CA 2	001-2	2428	971		2	0011	114	< - -
	EP	1401	374			A1		2004	0331		EP 2	001-3	2746	06		2	0011	114	<
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
	JP	2006	51694	48		T		2006	0713	,	JP 2	003-	5375	49		2	0011	114	<
,	US	2004	0636	28		A1		2004	0401	1	US 2	002-	1565	27		2	0020	529	<
	US	7060	708			B2		2006	0613					•					
	IN	2003	KN00'	775		A		2005	0204		IN 2	003-	KN77	5		2	0030	513	<
PRIO	RIT	APP	LN.	INFO	. :					1	US 2	000-	2746	22P	•	P 2	0001	114	
					-					1	US 1	999-2	2654	15		B2 -1	9990	310	
										1	US 1	999-	4112	38	•	B2 1	9991	004	
										1	WO 2	000-1	U\$56:	93		A 2	0000	306	
,										1	US 2	000-6	6428	20		A2 2	00008	322	
										1	US 2	000-	2475	61P		P 2	0001	114	
										1	US 2	000-3	2476	22P		P 2	00013	114	
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US 2000-248737P
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US 2000-248738P
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 US 2000-248797P
                         20001116
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                      A2 20010822 <--
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                      A2 20011108 <--
 US 2001-987458
                      B2 20011114 <--
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                      W
 US 2001-988034
                      B2 20011116 <--
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                      B2 20011116 <--
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                      B2 20011116 <--
 WO 2001-US43117
                      B2 20011116 <--
 US 2002-358381P
                         20020222 <--
 US 2002-366258P
                      P
                         20020322 <--
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Entered STN: 02 May 2003 ED

Compns. comprising polypeptides and drugs covalently attached to the AB polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

L13 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER:

2003:228449 CAPLUS Full-text.

DOCUMENT NUMBER:

139:169449

TITLE:

Determination of cefdinir and its related substances

by HPLC

AUTHOR(S):

Wang, Xing-lin

CORPORATE SOURCE:

Tianjin Institute of Pharmaceutical Research, Tianjin,

300193, Peop. Rep. China

SOURCE:

Zhongguo Xinyao Zazhi (2003), 12(2), 114-117

CODEN: ZXZHA6; ISSN: 1003-3734

PUBLISHER:

Zhongguo Xinyao Zazhishe

DOCUMENT TYPE: LANGUAGE:

Journal Chinese

ED Entered STN: 25 Mar 2003

A HPLC method for the determination of cefdinir and its related substances was AB established. A C18 column (250 mm + 4.6mm, $5\mu m$) was used. The mobile phase was the mixture of 0.025 mol·L-1 di-ammonium hydrogen phosphate adjusted to pH 5.0 with phosphoric acid and acetonitrile (89:11). The UV detection wavelength was 225 nm. The method was proved to be selective for separation

of cefdinir, its byproducts, degradation products and E-isomer. The method is simple and selective, and suitable for the determination of cefdinir and its impurities.

L13 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:946292 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

138:13981

TITLE:

Process for the preparation of high purity cefdinir

via formations of crystalline acid salts

INVENTOR (S):

Lee, Gwan Sun; Chang, Young Kil; Kim, Hong Sun; Park,

Chul Huyn; Park, Gha Seung; Kim, Cheol Kyung

PATENT ASSIGNEE(S):

Hanmi Pharm. Co., Ltd., S. Korea

SOURCE:

PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	rent				KIN	D -	DATE		API	PLIC	'ATI	ON 1	NO.		D.	ATE		
	2002				A1	_	2002	1212	WO	200	2 - K	R106	54		2	0020	605	<
	W:	CN,	JP,	US														
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI, F	R, G	B,	GR,	IE,	IT,	LU,	MC,	NL,	
		PT,	SE,	TR														
KR	2002	0926	12		Α		2002	1212	KR	200	1-3	1339	9	•	2	0010	605	<
EP	1392		A1		2004	0303	EP	200	2-7	3099	90		2	0020	605	<		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GI	R, I	Т,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	FI,	CY,	TR													
CN	1512	996			Α		2004	0714	CN	200	2-8	1133	34		2	0020	605	<
JP	2004	5340	53		T		2004	1111	JP	200	3 - 5	0200	05		2	0020	605	<
US	20042	2100	49		A1		2004	1021	US	200	3 - 4	7929	91		2	0031	125	<
US	7157	576			B2		2007	0102										
PRIORITY	(APP	LN.	INFO	.:					KR	200	1-3	1339	9		A 2	0010	605	<
									WO	200	2 - K	R106	54	1	W 2	0020	605	<

ED Entered STN: 13 Dec 2002

GI

High purity cefdinir is prepared in a high yield by a process comprising the steps of: treating a cefdinir intermediate with a formic acid-sulfuric acid mixture or a formic acid-methanesulfonic acid mixture to obtain a crystalline salt of cefdinir I [HX = H2SO4, MeSO3H] and reacting the crystalline salt with a base in a solvent. Thus, crystalline cefdinir.TsOH.2DMAC was prepared by an amidation reaction of (Z)-2-amino- α -[(triphenylmethoxy)imino]-4-

thiazoleethanethioic acid S-2-benzothiazolyl ester with 7-amino-3-vinyl-3cephem-4-carboxylic acid using Bu3N in N,N-dimethylacetamide (DMAC), followed by treatment with TsOH. Crystalline cefdinir. TsOH. 2DMAC was converted to crystalline cefdinir. H2SO4 in 91% yield using 90% HCO2H, 98% H2SO4 and MeCN. 99.9% Pure cefdinir was then obtained by suspending crystalline cefdinir. H2SO4 in H2O and adjusting the pH to 3.4 to 3.6 using Na2CO3. Also, 99.8% pure cefdinir was prepared via a similar sequence in which the intermediate salt was cefdinir.MeSO3H.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:449666 CAPLUS Full-text

DOCUMENT NUMBER:

137:20252

TITLE:

Process for producing anhydrous aminothiazole

derivatives by dehydration in ketone or acetonitrile

APPLICATION NO.

DATE

solvent

INVENTOR(S):

Ono, Hiroki; Hayashi, Masaru; Ohnishi, Masaru; Ohkawa,

Kazuo; Kitayama, Masato

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 14 pp.

DATE

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

1

14 Jun 2002

KIND

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

									•		_				. ح			
WO	2002	0461	75		A1	-	2002	0613	1	WO 20	 001-	TP10	 356		2	 0011	128	<i><</i>
	W:							AZ,										
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						_		JP,	_	_		-	-	-	•	-	•	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
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US	2004	0342	33.		Al		2004	0219	Į	JS 20	003-4	43260)5		20	0030	503	<
US	6878	827			B2		2005	0412										
PRIORITY	APP	LN.	INFO	. :						JP 20	000-	3683	19	7	A 20	00012	204	
•									7	NO 20	001-	JP103	356	Į.	v 20	0011	128	<
OTHER SO	THER SOURCE(S):					PAT	137:	20252	2									

Entered STN:

ED

GI

Disclosed is a novel process for industrially producing an anhydrous 2-(2-ABaminothiazol-4-yl)-2-hydroxyiminoacetic acid (I; R1 = acyl, protected carboxylower alkyl, alkyl) which is characterized in that I hydrate is treated in ketone solvent or MeCN. Anhydrous I is reacted with halogenating agent such as PCl5, converted into acid chloride, and then reacted with 7-aminocephem compound to prepare a broad spectrum antibacterial agent (no data). An amount of halogenating agent required is reduced to .apprx.1 to 1.2 equiv compared to .apprx.3 equiv when I hydrate is used. Thus, 20.0 g syn-2-(2-aminothiazol-4yl)-2-acetoxyiminoacetic acid (II) dihydrate was suspended in 200 mL acetone with stirring and heated under reflux at 55-56° for 1 h, and cooled at 5°, followed by filtration of precipitated crystals, an washing and vacuum-drying, to give 16.4 g anhydrous crystals of II. II (12.5 g) was suspended in 125 mL CH2Cl2 with stirring, cooled at -20 to -25°, treated with 13.6 g PCl5, and allowed to react at the same temperature, followed by filtration of precipitated crystals, washing with CH2Cl2, and vacuum-drying, to give 14.6 g 2-(2-aminothiazol-4-yl)-2- (acetoxyimino)acetyl chloride hydrochloride (III). 7-Amino-3-vinyl-3- cephem-4-carboxylic acid (4.52 g) and 10.2 g 1,3bis(trimethylsilyl)urea were suspended in 80 mL EtOAc, heated under reflux for 120 h for silylation, cooled at -20°, followed by adding 6.25 g III, and the resulting mixture was allowed to react for 30 min to give 95% 7-[syn-2-(2aminothiazol-4-yl)-2-(acetoxyimino)acetamido]-3-vinyl-3-cephem- 4-carboxylic acid.

REFERENCE COUNT: THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS 18 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN 2001:880903 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

137:125013

TITLE:

Synthesis of cefdinir

AUTHOR(S):

Lin, Gui-chun; Liu, Li; Ma, Ling-tai; Min, Ji-mei;

Zhang, Li-he

CORPORATE SOURCE:

Natl. Res. Lab. Natural Biomimetic Drugs, Peking

Univ., Beijing, 100083, Peop. Rep. China

SOURCE:

Hecheng Huaxue (2001), 9(5), 383-385

CODEN: HEHUE2; ISSN: 1005-1511

PUBLISHER:

Hecheng Huaxue Bianjibu

DOCUMENT TYPE:

Journal Chinese

LANGUAGE: OTHER SOURCE(S):

CASREACT 137:125013

Entered STN:

07 Dec 2001 ED

Cefdinir was synthesized via the condensation of 2-(2-aminothiazol-4-yl)-2-AB(Z) - (acetyinmino) acetyl chloride with 7-amino-3-vinyl-3-cephem-4- carboxylic acid. Under the optimization reaction conditions 60% total yield was achieved.

CAPLUS COPYRIGHT 2007 ACS on STN L13 ANSWER 44 OF 48 ACCESSION NUMBER: 2001:767504 CAPLUS Full-text

DOCUMENT NUMBER:

135:303724

TITLE:

Preparation of 3-vinylcephem compound from protected

compounds

INVENTOR(S): PATENT ASSIGNEE(S): Kameyama, Yutaka; Fukae, Kazuhiro Ohtsuka Chemical Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 5 pp. SOURCE:

CODEN: JKXXAF

Patent DOCUMENT TYPE: LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

: •	•	a 4 2	. 44		* * *
•	PATENT NO.	KIND	DATE .	APPLICATION NO.	DATE
	JP 2001294590	- А	20011023	JP 2000-111448	20000413 <
•	WO 2001079211	A1	20011025	WO 2001-JP3182	20010413 <
	W: CN, KR			en e	
	·	CY, DE	E, DK, ES, F	I, FR, GB, GR, IE, I	T, LU, MC, NL,
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				EP 2001-919924	
	R: AT, BE, CH,	DE, DE	(, ES, FR, G	B, GR, IT, LI, LU, N	L, SE, MC, PT,
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	CN 1134445	В	20040114	CN 2001-800920	20010413 <
	HK 1048112	A1	20041126	HK 2003-100146	20030107 <
PRIC	RITY APPLN. INFO.:			JP 2000-111448	A 20000413
				WO 2001-JP3182	W 20010413 <
OTHE	ER SOURCE(S):	CASREA	ACT 135:3037	24; MARPAT 135:30372	4
ED	Entered STN: 23 Oc	t 2001			

Cefdinir is prepared by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO4 and HCO2H at 30° for 1 h in CH2Cl2 to give 95% cefdinir.

I

L13 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2001:564833 CAPLUS Full-text

DOCUMENT NUMBER:

135:152367

TITLE:

GI

Nitrate salts of antimicrobial agents

INVENTOR(S):

Del Soldato, Piero; Benedini, Francesca; Antognazza,

Patrizia

PATENT ASSIGNEE(S):

Nicox S.A., Fr.

SOURCE:

PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

	PATENT NO.					D]	DĄŢE		-	APPL	ICAT	ION 1	NO.		D	ATE	
 -			A1	-	2001	0802		WO 2	 001-1	EP43	0		2	0010	 116 <		
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            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          IT 2000-MI92
    IT 2000MI0092
                        A1
                              20010726
                                                                20000126 <--
    IT 1317735
                              20030715
                        B1
                              20010802 CA 2001-2397754
    CA 2397754
                        A1
                                                                20010116 <--
    AU 200137308 A
AU 785330 B2
                              20010807 AU 2001-37308 20010116 <--
                              20070118
                     Α
    BR 2001007824
                              20021105 BR 2001-7824
                                                                20010116 <--
                                          EP 2001-909631
                                                                20010116 <--
                       A1
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                              20021106
    EP 1253924
                              20060419
                        B1
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    JP 2003520814
                        {f T}
                              20030708
                                          JP 2001-554675
                                                                20010116 <--
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                              20060515 AT 2001-909631
    AT 323488
                                                                20010116 <--
                              20060929 PT 2001-909631
    PT 1253924
                                                                20010116 <--
                     C2
                              20061127 RU 2002-120480
    RU 2288231
                                                                20010116 <--
                    A1
                              20030605
    US 2003105066
                                         US 2002-181424
                                                                20020724 <--
                        B2
    US 6794372
                              20040921
PRIORITY APPLN. INFO.:
                                          IT 2000-MI92
                                                          A 20000126
                                                             W 20010116 <--
                                          WO 2001-EP430
                       MARPAT 135:152367
OTHER SOURCE(S):
    Entered STN: 03 Aug 2001
ED
     Nitrate salts of antiviral, antifungal, and antibacterial agents such as
AB
     acyclovir, tetracycline, etc. were prepared Growth inhibition of, e.g., an S.
     Aureus strain by title compds. was demonstrated.
REFERENCE COUNT:
                             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
                        4
                             RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
                     CAPLUS COPYRIGHT 2007 ACS on STN
L13 ANSWER 46 OF 48
ACCESSION NUMBER:
                       1999:708773 CAPLUS Full-text
                       131:327498
DOCUMENT NUMBER:
                       A method for crystallizing a \beta-lactam antibiotic
TITLE:
                       Van Der Does, Thomas; Kuipers, Rienk Hendrik
INVENTOR(S):
                       DSM N.V., Neth.; Van Der Does, Thomas
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 22 pp.
SOURCE:
                       CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
                       English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                              DATE
                       KIND
                                   APPLICATION NO.
                                                                DATE
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                                         WO 1999-NL246
                              19991104
                       A1
    WO 9955710
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            NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
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            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                              19991116
                       A
    AU 9935395
                                       AU 1999-35395
                                                                19990427
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OTHER SOURCE(S): MARPAT 131:327498

A

T2

A1

R: AT, BE, ES, FR, GB, IT, NL

BR 9910085

TR 200003131

PRIORITY APPLN. INFO.:

EP 1075479

20010122

20010214

20001226 BR 1999-10085

TR 2000-200003131

EP 1998-201398 A 19980429

WO 1999-NL246 W 19990427

EP 1999-917236

19990427

19990427 <--

19990427 <--

Entered STN: 05 Nov 1999 ED

AB : The invention relates to a method for crystallizing a eta-lactam, wherein the etalactam is crystallized from a nitric acid solution E.g., at 20°, cefaclor monohydrate (11.0 g) was suspended in water (55 mL) and 4M HNO3 (8.1 g) was added to give a pH of 1.0. In order to dissolve all material, water (31 mL) was added while the pH was maintained at 1.0 using 4M HNO3 (2.5 g). Cefaclor monohydrate was crystallized by adding a 25% solution of NH4OH (3.8 mL) until the pH value of 6.2 was reached. The crystals thus produced were isolated by filtration, washed with water and dried under vacuum to give 8.8 g cefaclor monohydrate. The mother liquor (110 g) contained 2.2 g of dissolved cefaclor monohydrate.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Full-text

L13 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

1998:682396 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 129:275784

synthesis of crystalline dicyclohexylamine salt of TITLE:

cefdinir

INVENTOR (S): Sturm, Hubert; Wolf, Siegfried; Ludescher, Johannes

PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria

PCT Int. Appl., 14 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PAT	TENT	NO.			KIN	D :	DATE		•	APPL	ICAT	ION :	NO.		D	ATE		
WO	9845	299			A1		 1998	1015	1	WO 1	 998-	 EP19	53		1	9980	402	
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AT	9700	570			A		1998	1115		AT 1	997-	570			1	9970	404	
AT	4052	83			В		1999	0625		•								
CA	2283	718			A1	,	1998	1015	(CA 1	998-	2283	718		1	9980	402	
AU	9874			A		1998	1030	• 1	AU 1	998-	7428	8		1	9980	402	<	
AU	7314			B2		2001	0329		•									
EP	9737	79			A1	•	2000	0126]	EP 1	998-	9214	25		1	9980	402	<
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	9902				T2	•	2000	0221			999-					9980		
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						•					998-					9980		
									. 1	NO 1:	998-1	EP19	53	Ţ	W 1	9980	402	

Serial No.:10/549,906 ... ___

Entered STN: 28 Oct 1998 ED

A process for production of cefdinir in the form of a salt with AB dicyclohexylamine, and its use in the purification of impure cefdinir is described.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1997:547291 CAPLUS Full-text

DOCUMENT NUMBER:

127:149040

TITLE:

Process for preparation of cefdinir

INVENTOR (S):

Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh,

Joon Hyung

PATENT ASSIGNEE(S):

Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung

PCT Int. Appl., 26 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT NO.			KINI		DATE				ICAT					ATE		
WO	9724358 W: JP,			A1		1997									99612	226	
	RW: AT,		CH,	DE,	DK	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE
KR	174432			B1		1999	0218]	KR 1	995-	5869	4		1	99512	227	
KR	174431			B1.		1999	0218]	KR 1	995-	5869	5		1	99512	227	
EP	874853			A1		1998	1104]	EP 1	996-	9433	57		1	99612	226	<
EP	874853			B1		2002	0605										
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	IE,	FI															
JP	20005027	00		${f T}$		2000	0307	· ·	JP 1	997-	5242	30		1	99612	226	
AT	218572			${f T}$		2002	0615	7	AT 1	996-	9433	57		1	99612	226	<
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US	6093814			Α		2000	0725	Ţ	US 1	998-	6871	9 ·		1	9980!	518	
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]	KR 1	995-	5869	5		A 1	99512	227	
								7	WO 1	996-	KR25	0	,	W 1	99612	226	

OTHER SOURCE(S):

CASREACT 127:149040; MARPAT 127:149040

Entered STN: 28 Aug 1997 ED

GI

Cefdinir I (R = H), a cephalosporin antibiotic, was prepared in an excellent color and purity and with a good yield. Cefdinir was prepared by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC6H4SO3H and Me2NCOMe to form crystals of I (R = CPh3).4-MeC6H4SO3H.2Me2NCOMe, which were then converted to cefdinir with the use of formic acid. Formation of the cefdinir amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)2].

Search History

FILE	'REGISTRY'	ENTERED AT 16:27:58 ON 15 FEB 2007 E CEFDINIR/CN
т 1	1	SEA ABB=ON PLU=ON CEFDINIR/CN
L1 (·
	•	D
	· FILE REGIS	TRY' ENTERED AT 16:28:46 ON 15 FEB 2007
L2		STR 91832-40-5
L3	2	SEA FAM SAM L2
כת		D SCAN
T 4	4.5	SEA FAM FUL L2
L4	45	SEA FAM FUL LZ
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L5	•	SEA ABB=ON PLU=ON L4
L6		SEA ABB=ON PLU=ON L4/P
L7	62	SEA ABB=ON PLU=ON L4(L)PREP+NT/RL
L8	52	SEA ABB=ON PLU=ON L7 AND PATENT/DT
L9	44	SEA ABB=ON PLU=ON L8 AND (PRY>=2001 OR PY>=2001 OR AY>=2001)
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L13		SEA ABB=ON PLU=ON L9 OR L12
כגע	40	SAVE TEMP L13 BER906HC1A/A
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FILE 'CAPLUS' ENTERED AT 16:47:43 ON 15 FEB 2007 D QUE L13

D IBIB ED ABS 1-48